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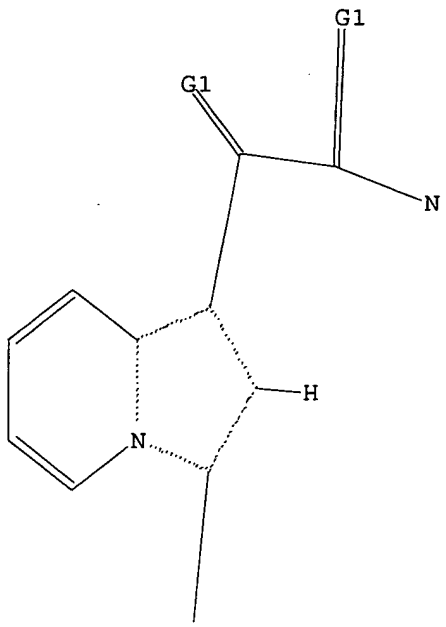
L1 STR



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 530 TO ITERATE

100.0% PROCESSED 530 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

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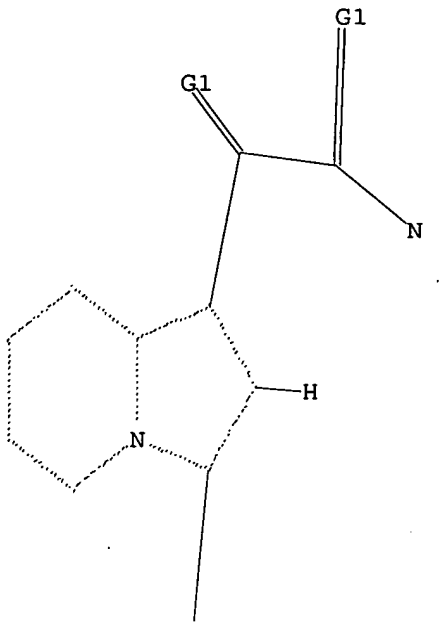

G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -     18 TO ITERATE

100.0% PROCESSED       18 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          106 TO      614
PROJECTED ANSWERS:               3 TO      163

L4             3 SEA SSS SAM L3

=> s l3 ful
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FULL SCREEN SEARCH COMPLETED -    530 TO ITERATE

100.0% PROCESSED      530 ITERATIONS                               75 ANSWERS
SEARCH TIME: 00.00.01

L5            75 SEA SSS FUL L3

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             323.95     324.16

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FILE COVERS 1907 - 7 Jul 2005 VOL 143 ISS 2
FILE LAST UPDATED: 6 Jul 2005 (20050706/ED)

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=> s l5
L6 4 L5

=> d 1-4 fbib abd fhitstr
'ABD' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers; SCAN must be entered on the same line as the DISPLAY, e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, IPC, and NCL

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT) containing hit terms
HITRN ------- HIT RN and its text modification

HITSTR ------ HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

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All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):fbib abs fhitstr

L6 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:490446 CAPLUS
DN 141:54191
TI Preparation of α-oxo-1-indolizineacetamides as tumor necrosis factor (TNFα) inhibitors for the treatment of inflammatory disorders.
IN Ono, Mitsunori; Sun, Lijun; Xia, Zhi Qiang; Li, Hao; Chen, Shojun; Nagai, Masazumi; Lu, Rongzhen
PA USA
SO U.S. Pat. Appl. Publ., 17 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 2004116462	A1	20040617	US 2002-319401		20021212
	US 2003204090	A1	20031030	US 2003-388332		20030313
				US 2001-322020P	P	20010913
				US 2002-244088	A2	20020913
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	WO 2004054507	A2	20040701	WO 2003-US39303		20031210
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				US 2003-388332	A2	20030313

PATENT FAMILY INFORMATION:
FAN 2003:221687

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FAN 2003:855698

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US 2003153759	A1	20030814	US 2002-244088		20020913
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OS MARPAT 141:54191
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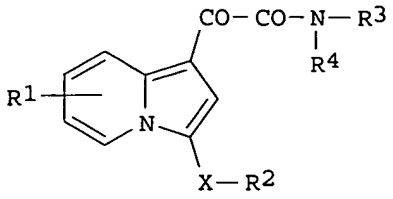

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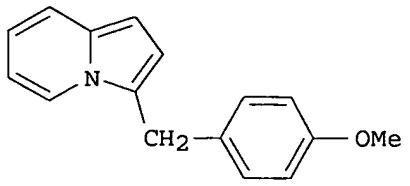

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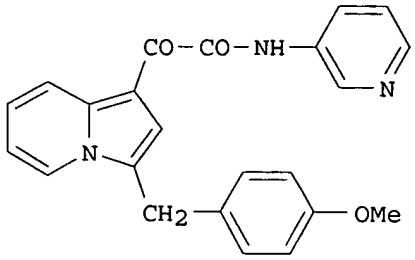


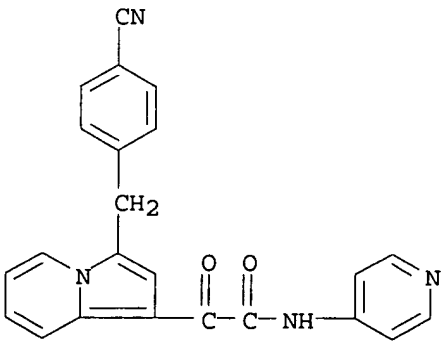
III

AB Title compds. I [R1 = H, alkyl, alkoxy, etc.; (un)substituted alkoxy, OH, CN, etc.; R3 = H, alkyl; R4 = N-oxy pyridyl, substituted pyridyl, e.g., F, Cl, Br, etc.; X = CR'', NR', O, etc.; R', R'' = H, substituted alkyloxy, e.g., OH, CN, F, etc.] and their pharmaceutically acceptable salts were prepared For example, oxalyl chloride acylation of indolizine II, e.g., prepared from 2-methylpyridine in 3-steps, followed by the addition of 3-aminopyridine afforded indolizine III. In human TFNα inhibition assays, 32-examples of compds. I exhibited IC50 values < 5 μM and 5-examples showed IC50 values of 10 nM or lower. Compds. I are claimed useful for the treatment of inflammatory disorders.

IT **501948-23-8P**, 2-[3-(4-Cyanobenzyl)indolizin-1-yl]-2-oxo-N-pyridin-4-ylacetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-oxo-1-indolizineacetamides as PDE4 inhibitors for the treatment of of inflammatory disorders.)

RN 501948-23-8 CAPLUS

CN 1-Indolizineacetamide, 3-[(4-cyanophenyl)methyl]-α-oxo-N-4-pyridinyl- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:252511 CAPLUS

DN 140:287263

TI Synthesis of 3-acylindolizines via cyclization of 2-methyl-1-phenacylpyridinium halides with sterically hindered reagents, and their use as intermediates in the preparation of 1-glyoxylamide indolizines

IN Sun, Lijun; Koya, Keizo; Xia, Zhi-qiang; Przewloka, Teresa; Zhang, Shijie; Ono, Mitsunori

PA Synta Pharmaceuticals Corp., USA

SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI WO 2004024727	A2	20040325	WO 2003-US28252	20030910
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			US 2002-410679P	P 20020913
CA 2496764	AA	20040325	CA 2003-2496764	20030910
			US 2002-410679P	P 20020913
			WO 2003-US28252	W 20030910
EP 1537105	A2	20050608	EP 2003-749545	20030910
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			US 2002-410679P	P 20020913
			WO 2003-US28252	W 20030910
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OS MARPAT 140:287263

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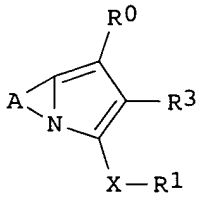

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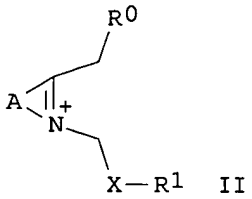

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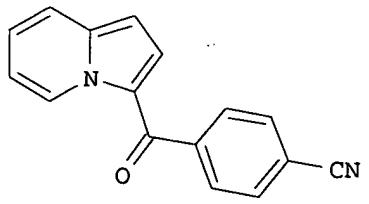


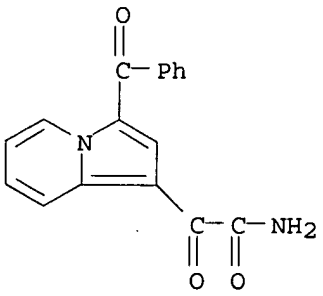
III

AB The invention is related to a method for preparing 3-acylindolizines I by reacting a substrate II with either the cyclization reagent R3C(OR2)2N(R4)2 or, a reagent prepared by reaction of R3C(:O)N(R4)2 with an alkylating agent [A = (un)substituted aryl; X = covalent bond, or C(:O), S(:O), SO2, NH and derivs., (un)substituted methylene; R0 = H, halo, CN, CO2H and derivs., C(:O)H and derivs., CONH2 and derivs., SO2H and derivs., SO2NH2 and derivs., (un)substituted aliphatic, aryl, non-aromatic heterocyclyl; R1 = H, CN, OH and derivs., SH and derivs., NH2 and derivs., (un)substituted aliphatic, aryl, non-aromatic heterocyclyl; R2 = independently (un)substituted aliphatic, aryl, or both R2 = linking group; R3 = H, (un)substituted aryl; or an electron-withdrawing, or electron-donating group provided that if R3 = H, at least one R2 = secondary or tertiary alkyl, (un)substituted aryl; R4 = independently H, (un)substituted aliphatic, aryl; or R4NR4 = (un)substituted heterocyclyl]. The advantages include high yields in the 3-acylindolizine, absence of 2-acylindolizine byproduct, and an environmental-friendly process. The invention is also directed to the use of I in the preparation of pharmacol. active 1-glyoxylamide indolizines III by further acylation of I with oxalyl chloride or a synthetic equivalent, and reaction with amines [B = (un)substituted ring or fused to an aryl group; R5, R6 = independently H, (un)substituted aliphatic, non-aromatic heterocyclyl, aryl, provided that R5 or R6 are not both H, or NR5R6 = (un)substituted non-aromatic heterocyclyl, aryl; R1, R2, X defined as above]. For example, 4-[(Indolizin-3-yl)carbonyl]benzonitrile was prepared by cyclization of IV•Br- with N,N-dimethylformamide di-tert-butylacetal in DMF.

IT **675139-41-0DP**, derivs.
RL: PNU (Preparation, unclassified); PREP (Preparation)
(1-glyoxylamide indolizine; synthesis of indolizines via cyclization of 2-methyl-1-phenacylpyridinium halides with amidoacetals)

RN 675139-41-0 CAPLUS

CN 1-Indolizineacetamide, 3-benzoyl-α-oxo- (9CI) (CA INDEX NAME)



L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:855698 CAPLUS

DN 139:350631

TI Preparation of indolizine compounds for treating conditions involving PDE4 or elevated levels of cytokines

IN Ono, Mitsunori; Przewloka, Teresa; James, David; Chimmanamada, Dinesh; Lu, Rongzhen; Nagai, Masazumi; Koya, Keizo; Sun, Lijun

PA USA

SO U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 319,401.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

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US 2004214850		A1	20041028	US 2004-849978		20040520
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PATENT FAMILY INFORMATION:

FAN 2003:221687

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PI	WO 2003022846	A1	20030320	WO 2002-US29154	20020913

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FAN 2004:490446

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004054507 A2 20040701 WO 2003-US39303 20031210
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US 2003-388332 A2 20030313

OS MARPAT 139:350631

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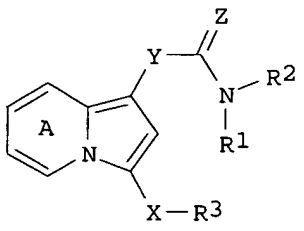

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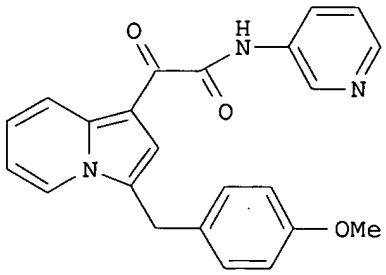


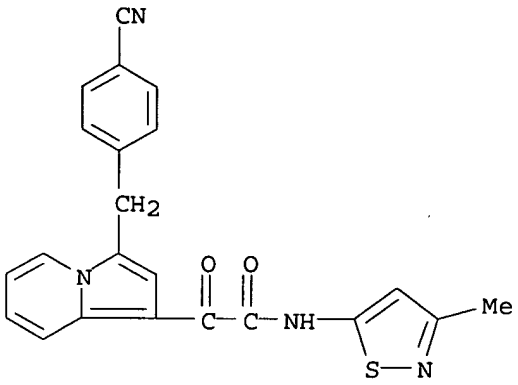
II

AB Title compds. I [wherein ring A = (un)substituted, optionally fused to an aryl group; Y = C(R4R5), NR4, CO, CS, amide, etc.; Z = O, S, NOR12, NR12; R1, R2 = H, (un)aliphatic, heterocycle, aryl, heteroaryl; R3 = aryl, aliphatic; X = bond, C(R4R5), NR4,O, S, CO, etc.; R4R5 = H, aliphatic; R12 = H, alkyl], a pharmaceutically acceptable salt or prodrug thereof, were prepared for treating and preventing cancer, inflammatory disorders, autoimmune diseases and other conditions involving PDE4 or elevated levels of cytokines. Thus, indolizine derivative II was prepared via a multistep synthetic sequence starting from 2-picoline, 2-bromo-1-(4-methoxy-phenyl)-ethanone, oxalyl chloride and 3-aminopyridine. II showed inhibition of human TNFα (Ic50 = < 10 μM) and inhibition of PDE4 (Ic50 = < 5 μM).

IT **501948-05-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indolizine compds. for treating or preventing cancer, inflammatory disorders, autoimmune diseases and other conditions involving PDE4 or elevated levels of cytokines)

RN 501948-05-6 CAPLUS

CN 1-Indolizineacetamide, 3-[(4-cyanophenyl)methyl]-N-(3-methyl-5-isothiazolyl)-α-oxo- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:221687 CAPLUS
DN 138:238174
TI Preparation of 2-(indolizin-1-yl)-N-(isothiazol-5-yl)-2-oxo-acetamides for treating cancer
IN Koya, Keizo; Sun, Lijun; Ono, Mitsunori; Ying, Weiwen; Li, Hao
PA SBR Pharmaceuticals Corp., USA
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

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PATENT FAMILY INFORMATION:
FAN 2003:855698

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FAN 2004:490446

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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OS MARPAT 138:238174

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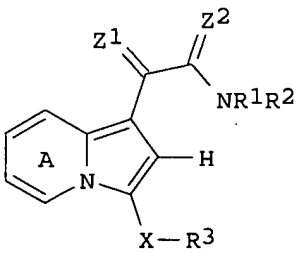

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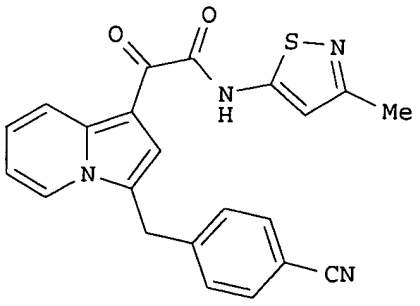


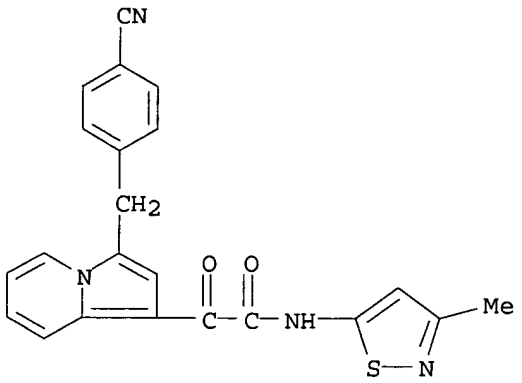
II

AB The title 1-glyoxylylamide indolizines [I; Ring A is (un)substituted and optionally fused to an aryl group; Z1, Z2 = O, S, N(OR12), NR12; R1, R2 = H, (un)substituted aliphatic group, (un)substituted non-aromatic heterocyclic group, etc.; or NR1R2 = (un)substituted non-aromatic nitrogen-containing heterocyclic group or nitrogen-containing heteroaryl group; R3 = (un)substituted aryl or aliphatic group; X = a bond, CR4R5, NR4, O, etc.; R4, R5 = H, (un)substituted aliphatic group; R12 = H, (un)substituted alkyl], useful in treating a multi-drug resistant cancer, were prepared E.g., multi-step synthesis of II, starting from 4-cyanophenacyl bromide and pyridine, was given. The compound II demonstrated significantly high anti-cancer activity (IC50: 0.01-0.05 μM) against seven cancer cell lines from different tissue type, and also high anti-cancer activity (0.02-0.05 μM) against two MDR cancer cell lines.

IT **501948-05-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(indolizin-1-yl)-N-(isothiazol-5-yl)-2-oxo-acetamides for treating cancer)

RN 501948-05-6 CAPLUS

CN 1-Indolizineacetamide, 3-[(4-cyanophenyl)methyl]-N-(3-methyl-5-isothiazolyl)-α-oxo- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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